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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUIDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

10/ 539,483

NEWS HOURS      STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8        For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:16:46 ON 05 AUG 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:17:11 ON 05 AUG 2008  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES:    4 AUG 2008    HIGHEST RN 1038507-75-3  
DICTIONARY FILE UPDATES:   4 AUG 2008    HIGHEST RN 1038507-75-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

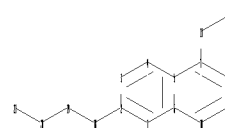
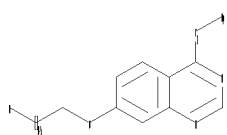
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10539483.str

10/ 539,483



```
chain nodes :
11 12 14 15 18 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-18 7-11 11-12 14-15 18-19 19-20 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
2-18 7-11 11-12 14-15 18-19 20-21
exact bonds :
19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :
```

G1:O,S,N,SO2,[\*1]

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
Element Count :
Node 12: Limited
    C,C5
    N,N1
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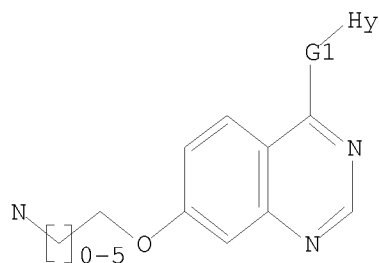
L1 STRUCTURE UPLOADED

10/ 539,483

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 O, S, N, SO2, [01]

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:17:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 486 TO ITERATE

100.0% PROCESSED 486 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8398 TO 11042

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:17:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9633 TO ITERATE

100.0% PROCESSED 9633 ITERATIONS

56 ANSWERS

SEARCH TIME: 00.00.01

L3 56 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 17:17:48 ON 05 AUG 2008

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FILE COVERS 1907 - 5 Aug 2008 VOL 149 ISS 6  
FILE LAST UPDATED: 4 Aug 2008 (20080804/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 13

L4 3 L3

=> d 14 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:538808 CAPLUS

DOCUMENT NUMBER: 146:501078

TITLE: Preparation of 6-amino-4-(phenylamino)quinazoline derivatives as tyrosine kinase inhibitors

INVENTOR(S): Ahn, Young-Gil; Kim, Jong Woo; Bang, Keuk Chan; Park, Bum Woo; Kim, Se Young; Lee, Kyungik; Lee, Kyuhang; Ko, Myoung-Sil; Kim, Han Kyong; Kim, Young Hoon; Kim, Maeng Sup; Lee, Gwan Sun

PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 217pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

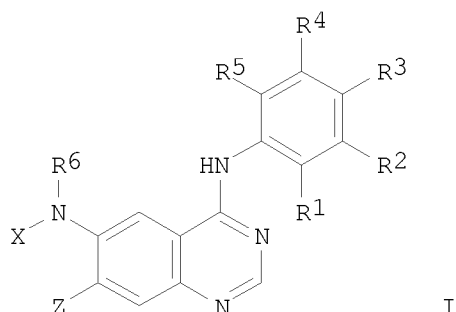
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007055514	A1	20070518	WO 2006-KR4670	20061108
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

KR 2007049572	A	20070511	KR 2006-109137	20061106
KR 832594	B1	20080527		
PRIORITY APPLN. INFO.:			KR 2005-106506	A 20051108
			KR 2006-109137	A 20061106
OTHER SOURCE(S):	MARPAT 146:501078			
GI				



- AB The title compds. [I; R1-R5 = independently H, HO, halogen, CF<sub>3</sub>, C1-6 alkyl, C1-6 alkoxy, C3-7 cycloalkyl, hydroxy-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, NH<sub>2</sub>, amino-C1-4 alkyl, C1-6 alkylamino, C1-6 alkoxy-carbonyl, C1-6 alkoxyaminocarbonyl, aryl-C1-6 alkoxy, heteroaryl-C1-6 alkoxy, or aryl; R<sub>6</sub> = H, C1-6 alkyl or di(C1-6 alkyl)amino-C1-6 alkyl; X = (un)substituted C2-6 alkenylcarbonyl or C2-6 alkynylcarbonyl; Z = (un)substituted C1-6 alkoxy, C1-6 alkenyloxy, aryloxy, heterocyclyloxy, or heterocyclyl-C1-6 alkoxy] or pharmaceutically acceptable salts thereof are prepared. These inventive quinazoline derivs. as multiplex inhibitors can selectively and effectively inhibit diseases caused by the overactivity of a tyrosine kinase, in particular a vascular endothelial growth factor receptor (VEGFR) or an epithelial cell growth factor receptor (EGFR). The diseases include cancer, diabetes, psoriasis, rheumatoid arthritis, Kaposi's sarcoma, angioma, acute and chronic nephropathy, arterial restenosis, autoimmune disease, acute infection, and eye disease caused by vein abruption. These compds. effectively inhibited the growth of A431 having overexpressed EGFR1 (HER-1) and SK-Br3 having overexpressed EGFR2 (HER-2) at a low drug concentration, while the compds. did not inhibit the growth of SW-620 not having overexpressed EGFR and EGFR2. They also showed an excellent inhibitory effect on VEGFR-2 (KDR), which is an importance factor for inducing angiogenesis. Thus, amidation of 4-[6-amino-4-(4-bromo-2-fluorophenylamino)quinazolin-7-yl]oxyethyl]piperidine-1-carboxylic acid tert-Bu ester by acryloyl chloride in CH<sub>2</sub>Cl<sub>2</sub> at room temperature for 2 h gave 4-[6-acryloylamino-4-(4-bromo-2-fluorophenylamino)quinazolin-7-yl]oxyethyl]piperidine-1-carboxylic acid tert-Bu ester which was deprotected by treatment with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> and acetylated by acetyl chloride in CH<sub>2</sub>Cl<sub>2</sub> at room temperature for 2 h to give
- N-[7-(1-acetyl)piperidin-4-ylmethoxy]-4-(4-bromo-2-fluorophenylamino)quinazolin-6-yl]acrylamide (II). II showed IC<sub>50</sub> of 0.085, 0.048, 0.283, and 3.058 μM against HUVEC, A431, SKBr3, and SW-620 cancer cell lines, resp., and 0.131 and 0.003 μM against VEGFR-2 (KDR) and EGFR-1 (HER-1), resp.
- IT 936558-91-7P, [2-[[4-[(6-chloropyridin-3-yl)amino]-6-nitroquinazolin-7-yl]oxy]ethyl]carbamic acid tert-butyl ester  
 936558-92-8P, [7-(2-aminoethoxy)-6-nitroquinazolin-4-yl](6-chloropyridin-3-yl)amine  
 936558-93-9P, N-[2-[[4-[(6-

Chloropyridin-3-yl)amino]-6-nitroquinazolin-7-yl]oxy]ethyl]acetamide

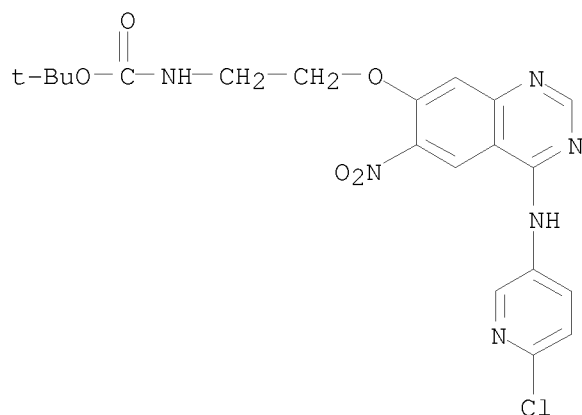
936558-94-0P, N-[2-[[6-Amino-4-[(6-chloropyridin-3-yl)amino]quinazolin-7-yl]oxy]ethyl]acetamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 6-amino-4-(phenylamino)quinazoline derivs. as tyrosine kinase inhibitors)

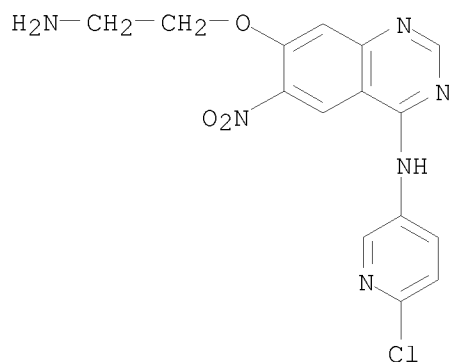
RN 936558-91-7 CAPLUS

CN Carbamic acid, N-[2-[[4-[(6-chloro-3-pyridinyl)amino]-6-nitro-7-quinazolinyl]oxy]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



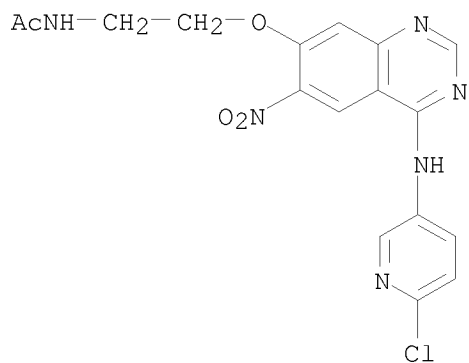
RN 936558-92-8 CAPLUS

CN 4-Quinazolinamine, 7-(2-aminoethoxy)-N-(6-chloro-3-pyridinyl)-6-nitro- (CA INDEX NAME)



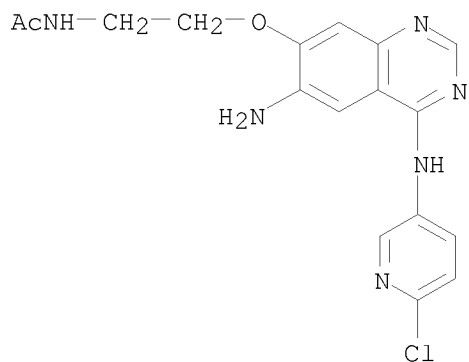
RN 936558-93-9 CAPLUS

CN Acetamide, N-[2-[[4-[(6-chloro-3-pyridinyl)amino]-6-nitro-7-quinazolinyl]oxy]ethyl]- (CA INDEX NAME)



RN 936558-94-0 CAPLUS

CN Acetamide, N-[2-[[6-amino-4-[(6-chloro-3-pyridinyl)amino]-7-quinazolinyl]oxy]ethyl]- (CA INDEX NAME)



IT 936558-89-3P, N-[7-(2-Acetylaminoethoxy)-4-[(6-chloropyridin-3-yl)amino]quinazolin-6-yl]acrylamide

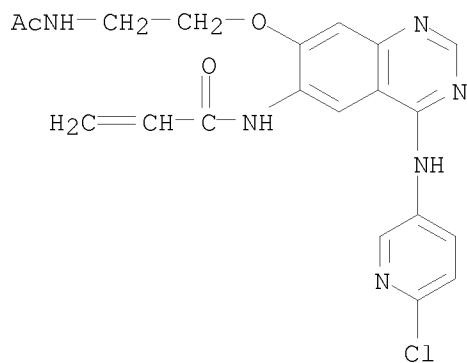
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-amino-4-(phenylamino)quinazoline derivs. as tyrosine kinase inhibitors)

RN 936558-89-3 CAPLUS

CN 2-Propenamide, N-[7-[2-(acetylamino)ethoxy]-4-[(6-chloro-3-pyridinyl)amino]-6-quinazolinyl]- (CA INDEX NAME)





REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:566625 CAPLUS

DOCUMENT NUMBER: 141:123758

TITLE: Preparation of phosphonooxy quinazoline derivatives as therapeutic agents

INVENTOR(S): Mortlock, Andrew Austen

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

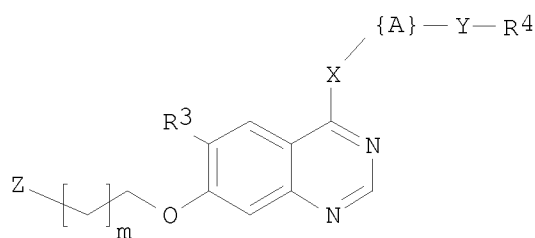
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058782	A1	20040715	WO 2003-GB5640	20031222
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003294142	A1	20040722	AU 2003-294142	20031222
EP 1575966	A1	20050921	EP 2003-789562	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512387	T	20060413	JP 2004-563355	20031222
US 20060058325	A1	20060316	US 2005-539483	20050617
PRIORITY APPLN. INFO.:			EP 2002-293240	A 20021224
			WO 2003-GB5640	W 20031222
OTHER SOURCE(S):		MARPAT 141:123758		
GI				



I

AB Preparation of phosphonooxy quinazoline derivs. I (A = 6-membered heteroaryl containing nitrogen atom and optionally containing one or two further nitrogen atoms; X = O, S, S(O), S(O)<sub>2</sub>, organoamino; m = 0-4; Y = O, carbonylamido, etc.; Z = organoamino, phosphonooxy, C3-6 (un)substituted phosphonooxy cycloalkyl, etc.; R3 = H, halo, cyano, nitro, C1-6 alkoxy, C1-6 alkyl, carbonylamido, sulfonylamido, organoamino, etc.; R4 = H, C1-4 alkyl, heteroaryl, heteroaryl C1-4 alkyl, aryl, aryl C1-4 alkyl, halo Me Et, cyclopropyl, ethynyl substituted alkyl, etc.), compns. containing them, processes for their preparation and their use in therapy, is described. Thus, reaction of N-{6-[(3-chlorobenzyl)oxy]pyridin-3-yl}-7-(3-chloropropoxy)-6-methoxyquinazolin-4-amine (preparation given) with 3-amino-3-methylbutanol in di-Me acetamide in the presence of KI gave 75% 3-[(3-{[4-({6-[(3-chlorobenzyl)oxy]pyridin-3-yl}amino)-6-methoxyquinazolin-7-yl]oxy}propyl)amino]-3-methylbutan-1-ol which on treatment with di-tert-butyl-N,N-diethylphosphoramidite, oxidation with H<sub>2</sub>O<sub>2</sub>, and hydrolysis of the formed phosphate ester gave title compound, 3-[[[3-[[4-[[6-[(3-chlorobenzyl)oxy]pyridin-3-yl]amino]-6-methoxyquinazolin-7-yl]oxy]propyl]amino]-3-methylbutyl dihydrogen phosphate.

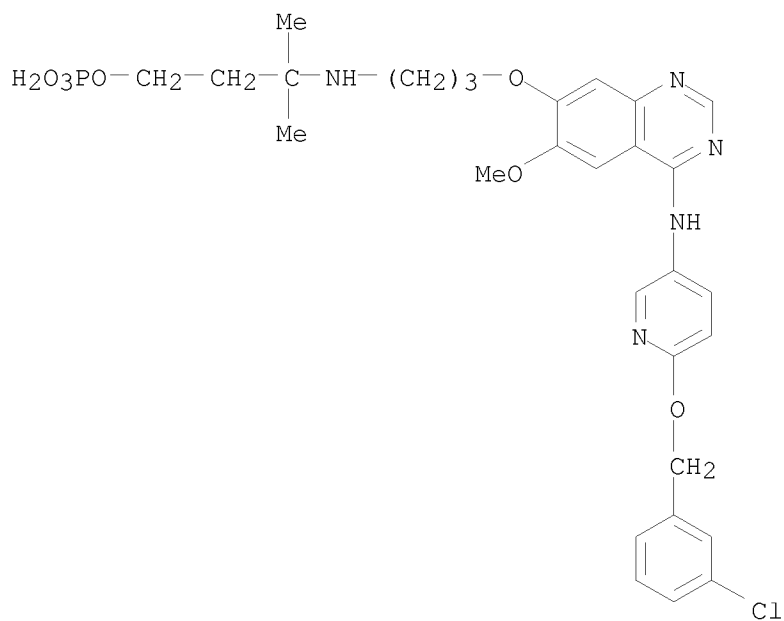
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 722486-52-4P 722486-59-1P 722486-65-9P  
 722486-85-3P 722486-93-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phosphonooxy quinazoline derivs. as therapeutic agents)

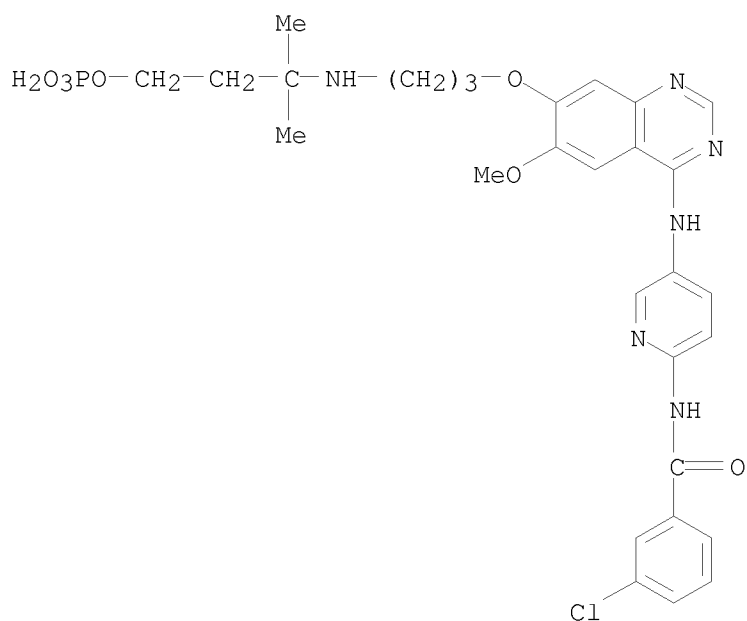
RN 722485-20-3 CAPLUS

CN 1-Butanol, 3-[[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]amino]-3-methyl-, dihydrogen phosphate (ester) (9CI) (CA INDEX NAME)



RN 722485-21-4 CAPLUS

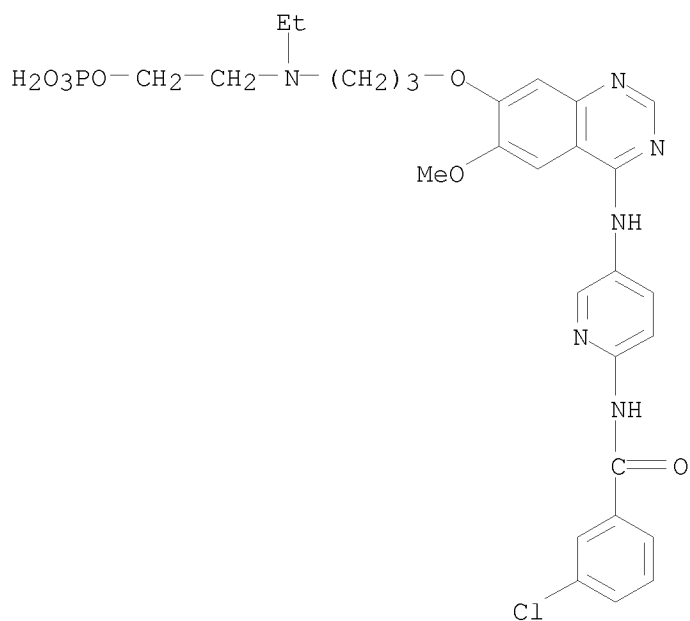
CN Benzamide, 3-chloro-N-[5-[[7-[3-[[1,1-dimethyl-3-(phosphonooxy)propyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722485-22-5 CAPLUS

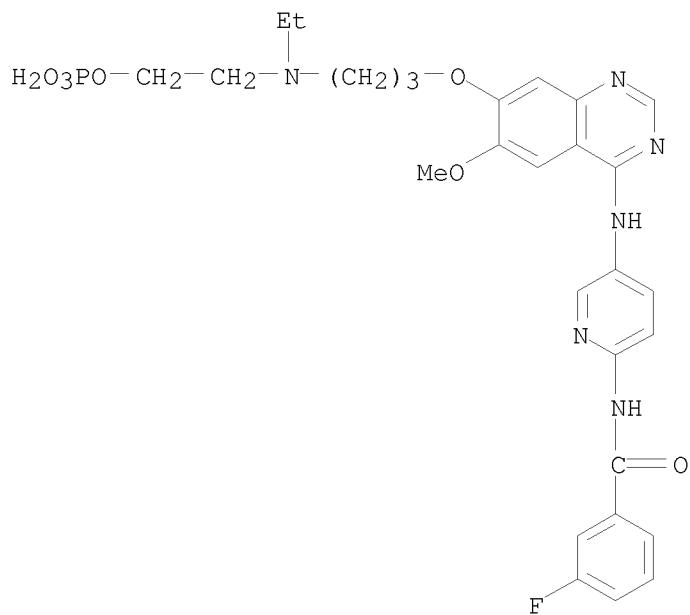
CN Benzamide, 3-chloro-N-[5-[[7-[3-[ethyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

10/ 539,483



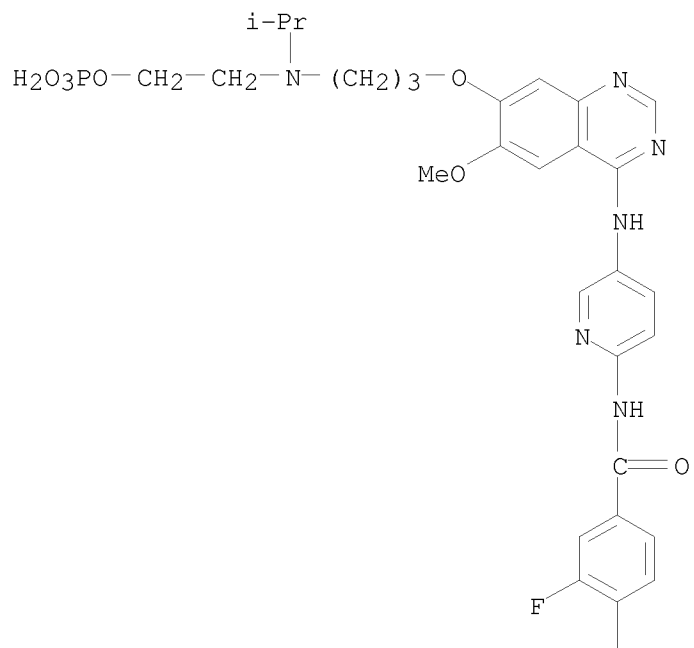
RN 722485-26-9 CAPLUS

CN Benzamide, N-[5-[[7-[3-[ethyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-3-fluoro- (CA INDEX NAME)



RN 722485-27-0 CAPLUS

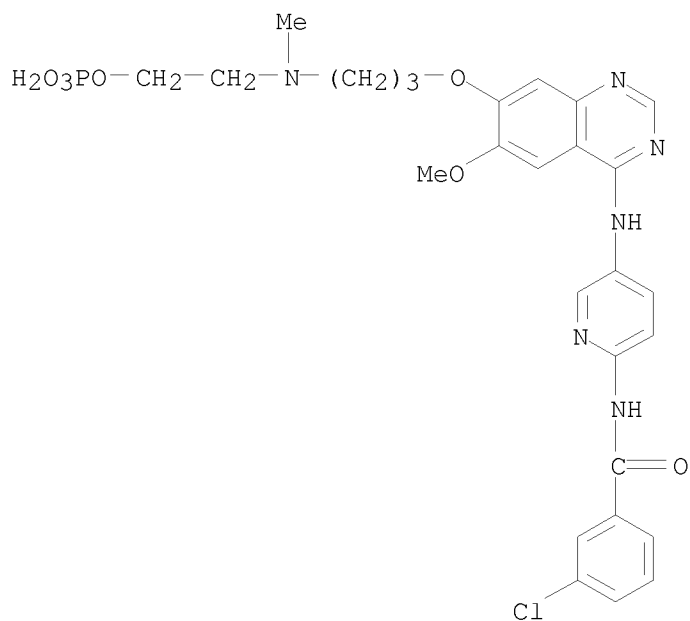
CN Benzamide, 3,4-difluoro-N-[5-[[6-methoxy-7-[3-[(1-methylethyl)[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722485-30-5 CAPLUS

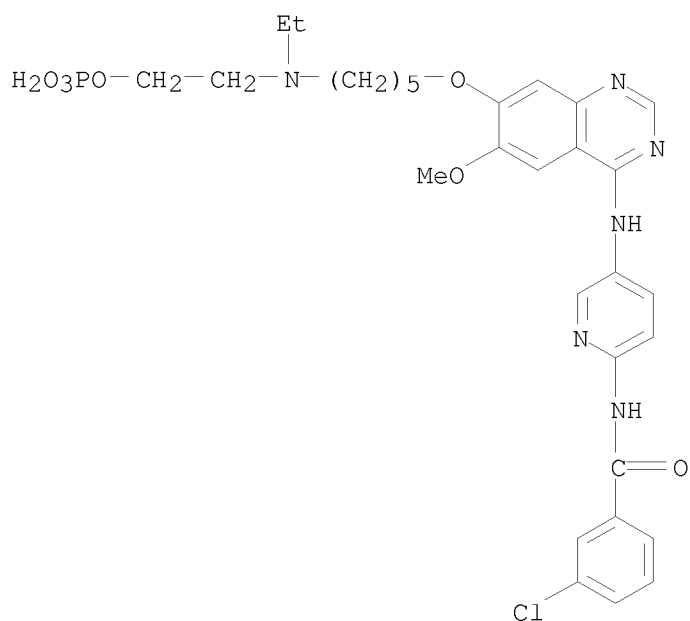
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[methyl[2-(phosphonoxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-  
(CA INDEX NAME)

10/ 539,483



RN 722485-32-7 CAPLUS

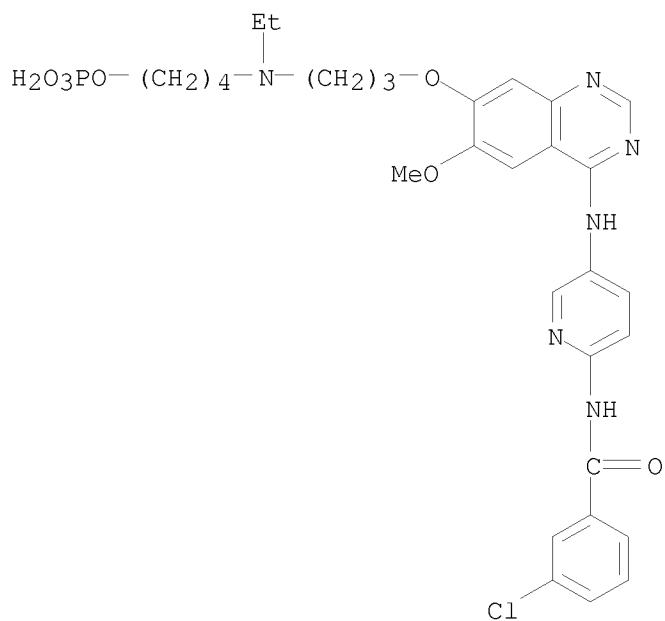
CN Benzamide, 3-chloro-N-[5-[[7-[[5-[ethyl[2-(phosphonoxy)ethyl]amino]pentyl]oxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722485-34-9 CAPLUS

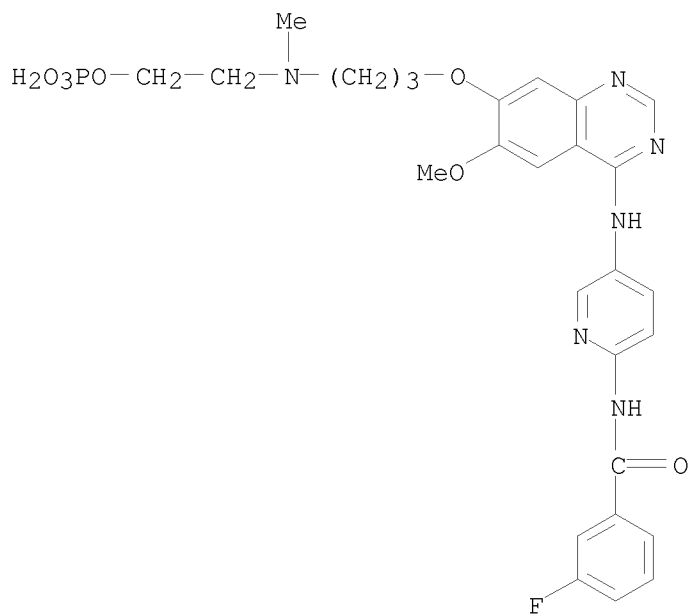
CN Benzamide, 3-chloro-N-[5-[[7-[3-[ethyl[4-(phosphonoxy)butyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

10/ 539,483



RN 722485-36-1 CAPLUS

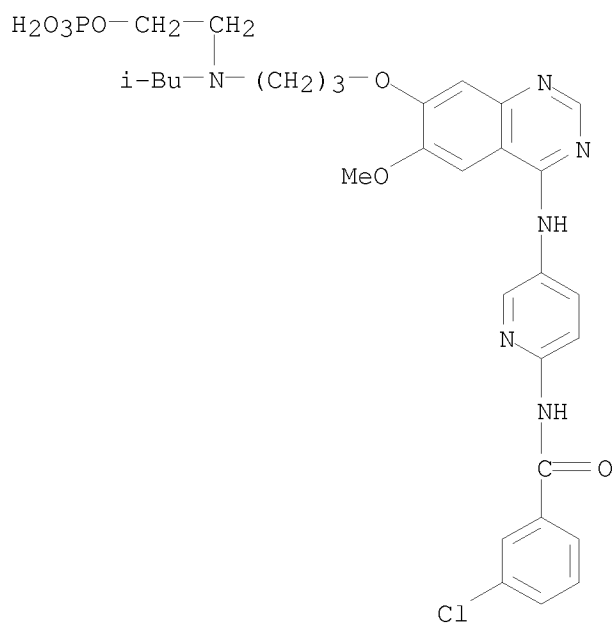
CN Benzamide, 3-fluoro-N-[5-[[6-methoxy-7-[3-[methyl[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-(CA INDEX NAME)



RN 722485-37-2 CAPLUS

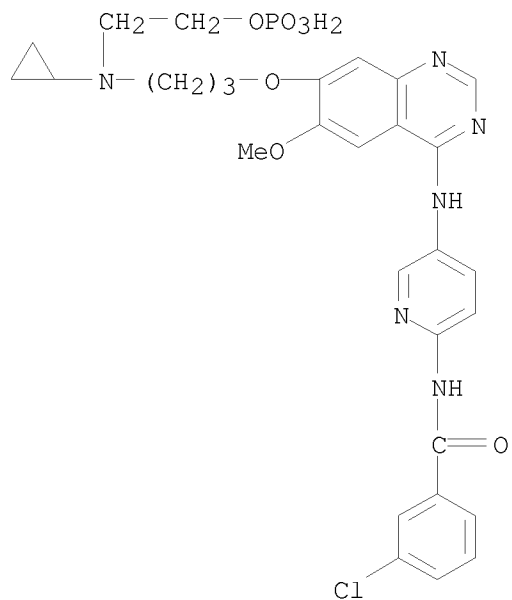
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[(2-methylpropyl)[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-(CA INDEX NAME)

10/ 539,483



RN 722485-39-4 CAPLUS

CN Benzamide, 3-chloro-N-[[5-[[7-[3-[cyclopropyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

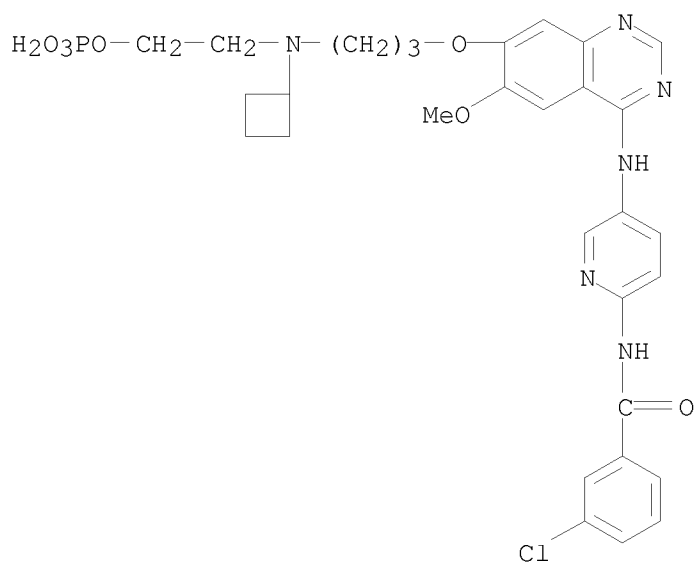


RN 722485-46-3 CAPLUS

CN Benzamide, 3-chloro-N-[[5-[[7-[3-[cyclobutyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

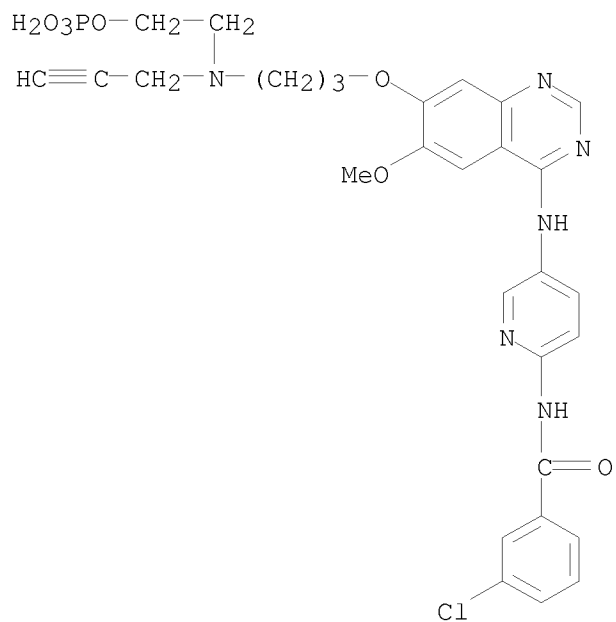


10/ 539,483



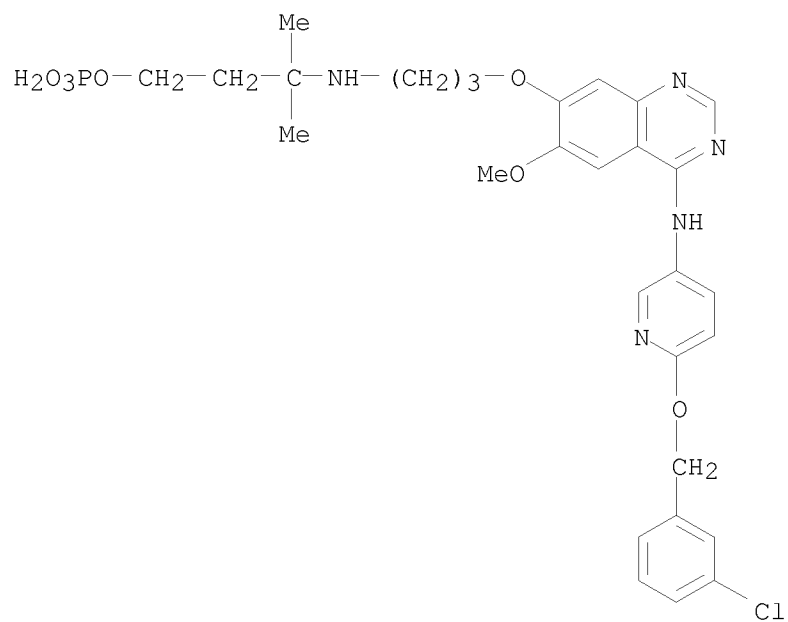
RN 722485-48-5 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[[2-(phosphonooxy)ethyl]-2-propyn-1-ylamino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



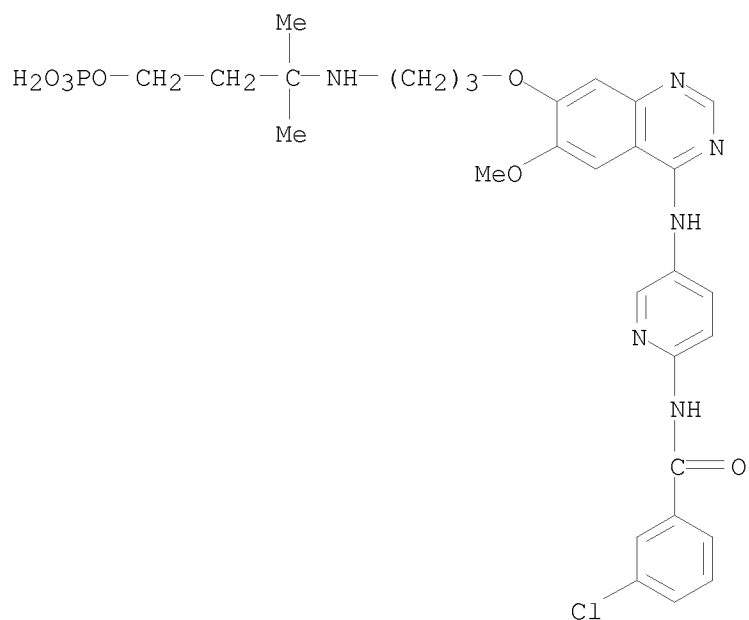
RN 722485-71-4 CAPLUS

CN 1-Butanol, 3-[[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]amino]-3-methyl-, dihydrogen phosphate (ester), dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

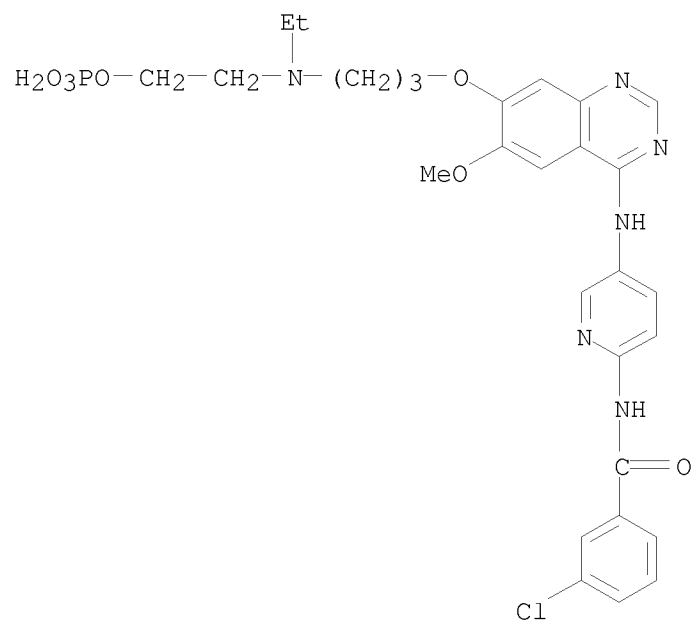
RN 722485-75-8 CAPLUS  
 CN Benzamide, 3-chloro-N-[5-[[7-[3-[[1,1-dimethyl-3-(phosphonoxy)propyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 722485-83-8 CAPLUS

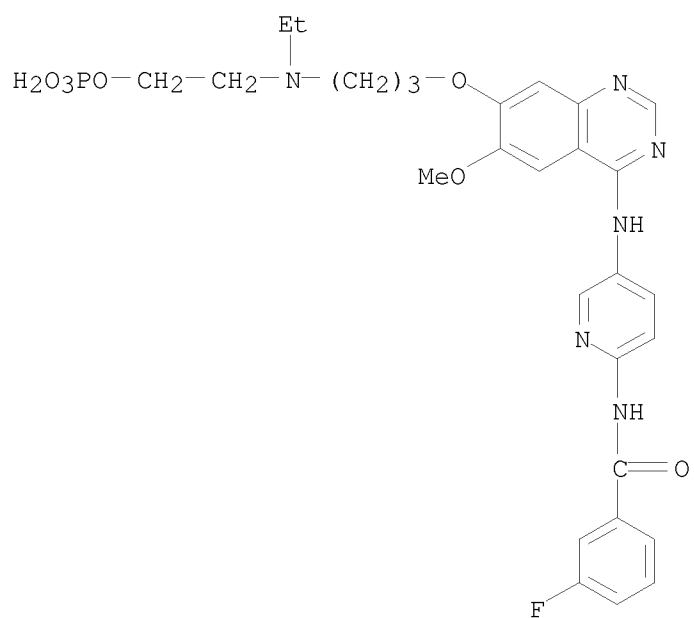
CN Benzamide, 3-chloro-N-[[5-[[7-[3-[ethyl[2-(phosphonoxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 722485-97-4 CAPLUS

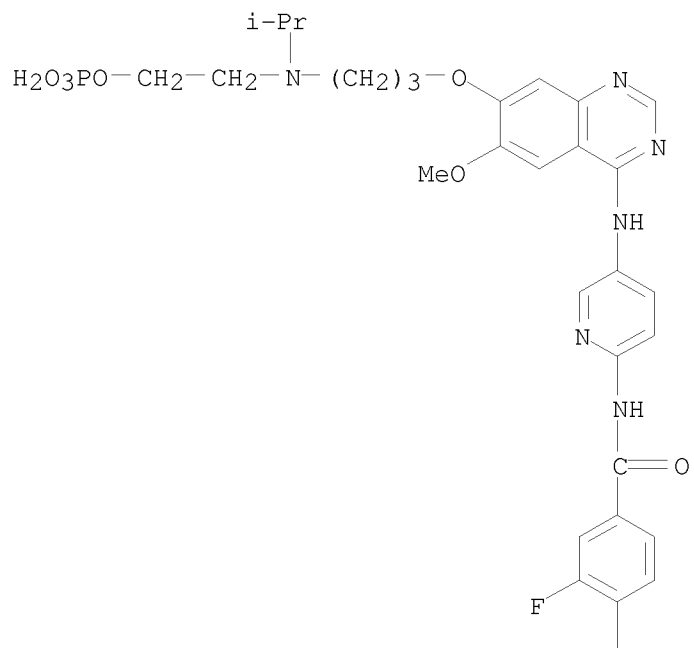
CN Benzamide, N-[5-[[7-[3-[ethyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-3-fluoro-, hydrochloride (1:3)  
(CA INDEX NAME)



● 3 HCl

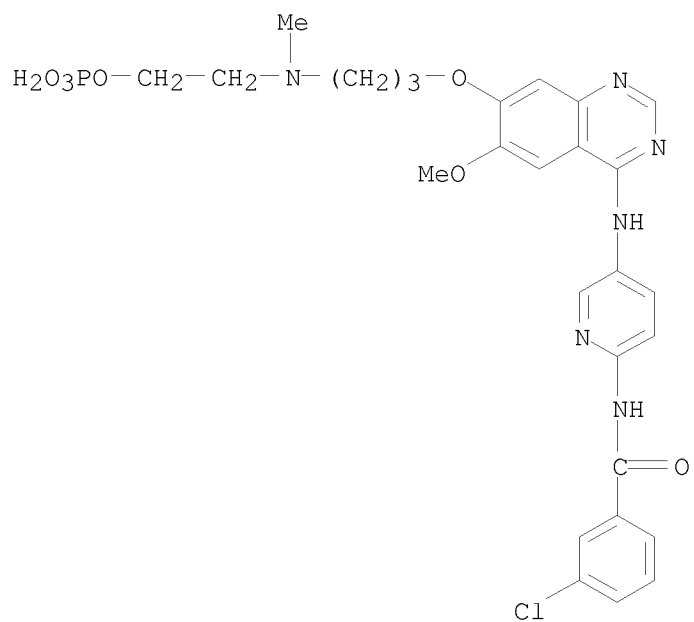
RN 722486-05-7 CAPLUS

CN Benzamide, 3,4-difluoro-N-[5-[[6-methoxy-7-[3-[(1-methylethyl) [2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

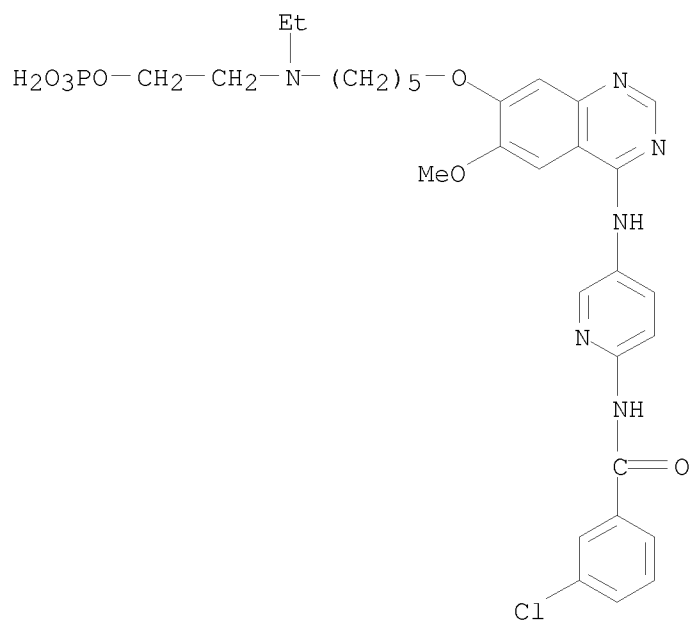
RN 722486-28-4 CAPLUS  
 CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[methyl[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 722486-37-5 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[[5-[ethyl[2-(phosphonoxy)ethyl]amino]pentyl]oxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2)  
(CA INDEX NAME)

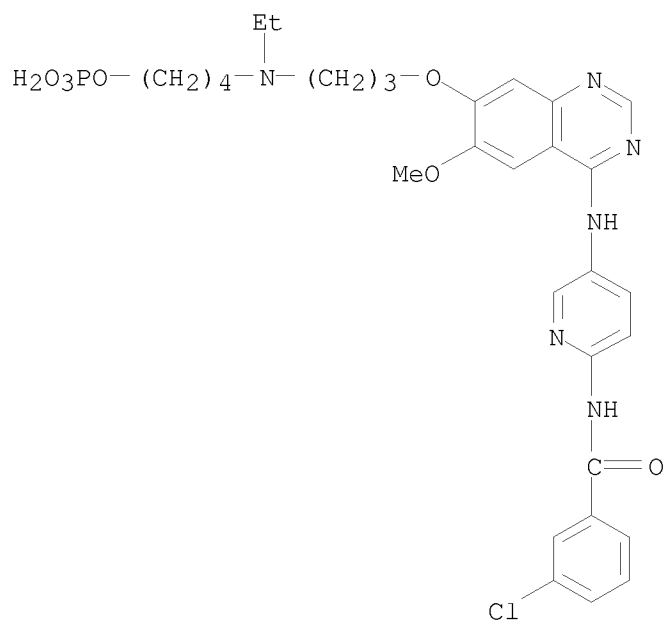


● 2 HCl

RN 722486-43-3 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[ethyl[4-(phosphonoxy)butyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)





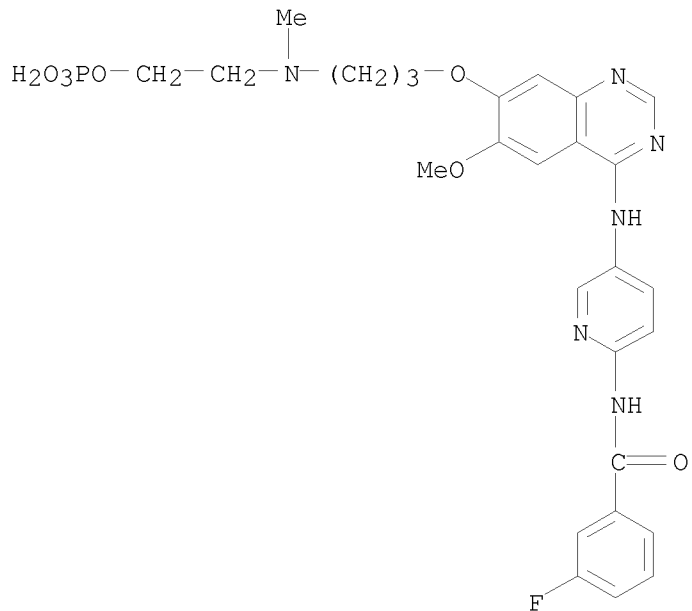
● 2 HCl

RN 722486-52-4 CAPLUS  
 CN Formic acid, compd. with 3-fluoro-N-[5-[[6-methoxy-7-[3-[methyl[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 722485-36-1  
 CMF C27 H30 F N6 O7 P

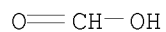
10/ 539,483



CM 2

CRN 64-18-6

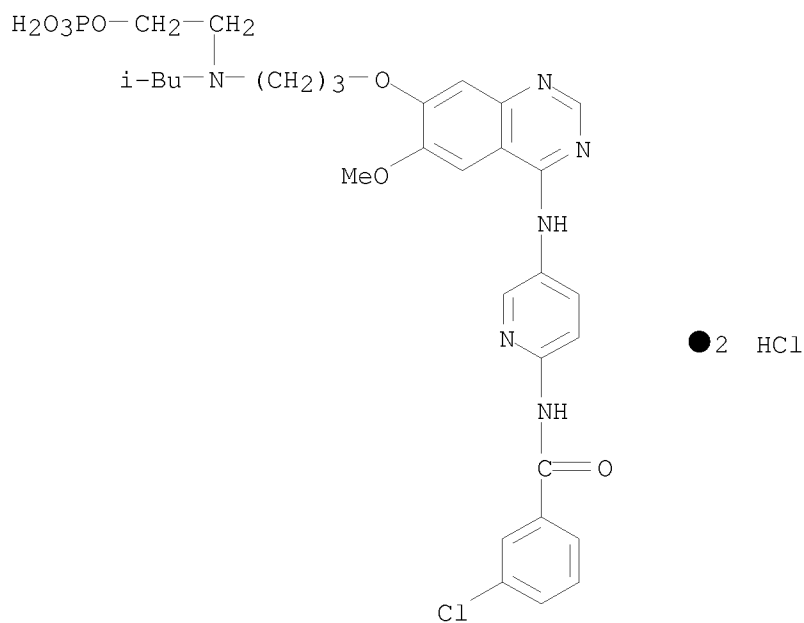
CMF C H2 O2



RN 722486-59-1 CAPLUS

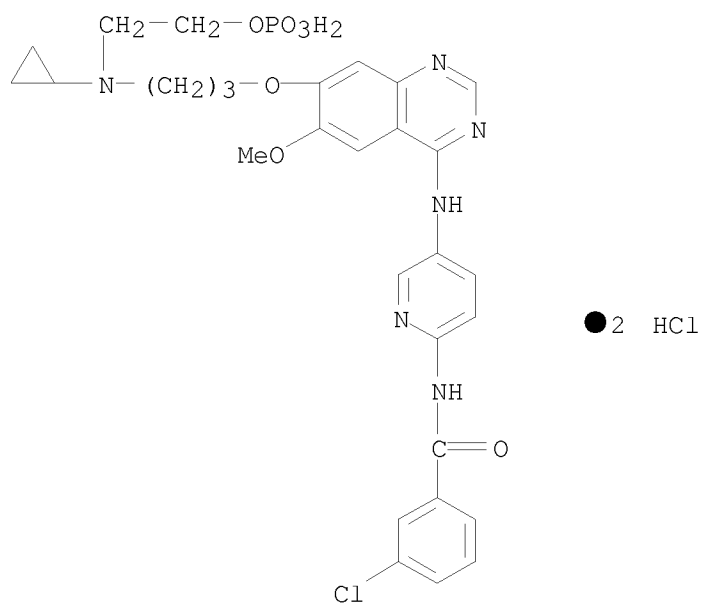
CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[(2-methylpropyl)[2-(phosphonooxy)ethyl]amino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)

10/ 539,483



RN 722486-65-9 CAPLUS

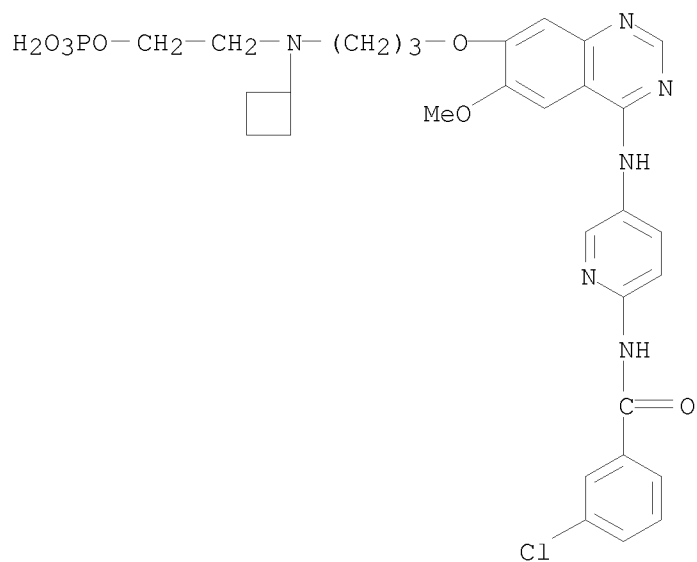
CN Benzamide, 3-chloro-N-[5-[[7-[3-[cyclopropyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 722486-85-3 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[cyclobutyl[2-(phosphonooxy)ethyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)

PAGE 1-A

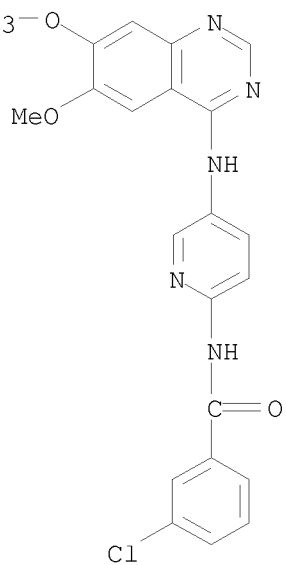


PAGE 2-A

● 2 HCl

RN 722486-93-3 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[6-methoxy-7-[3-[[2-(phosphonoxy)ethyl]-2-propyn-1-ylamino]propoxy]-4-quinazolinyl]amino]-2-pyridinyl]-, hydrochloride (1:2) (CA INDEX NAME)

 $\text{H}_2\text{O}_3\text{PO}-\text{CH}_2-\text{CH}_2-$ 
 $\text{HC}\equiv\text{C}-\text{CH}_2-\text{N}-$ 


● 2 HCl

IT 722485-65-6P 722485-67-8P 722485-69-0P

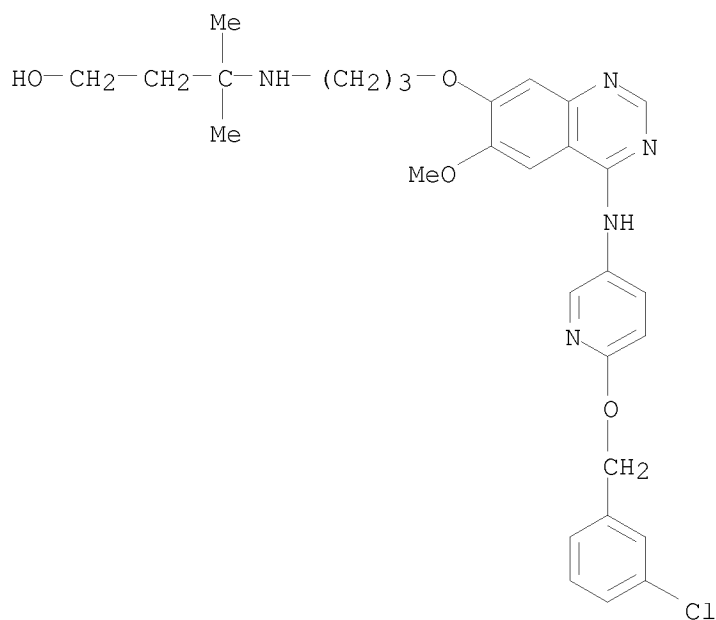
722485-73-6P 722485-81-6P 722485-95-2P  
 722486-03-5P 722486-24-0P 722486-26-2P  
 722486-35-3P 722486-41-1P 722486-46-6P  
 722486-49-9P 722486-55-7P 722486-57-9P  
 722486-61-5P 722486-63-7P 722486-81-9P  
 722486-83-1P 722486-89-7P 722486-91-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phosphonoxy quinazoline derivs. as therapeutic agents)

RN 722485-65-6 CAPLUS

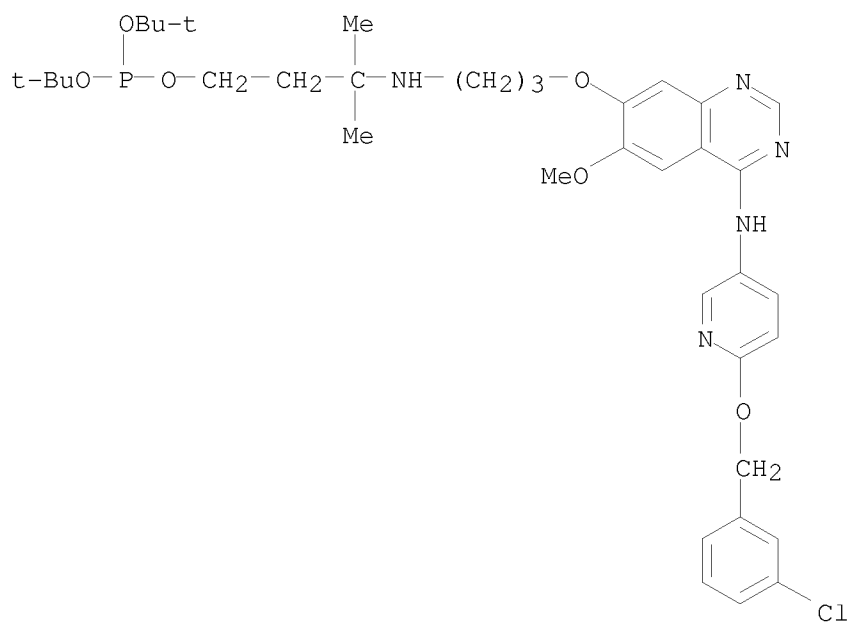
CN 1-Butanol, 3-[[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]amino]-3-methyl- (CA INDEX NAME)



RN 722485-67-8 CAPLUS

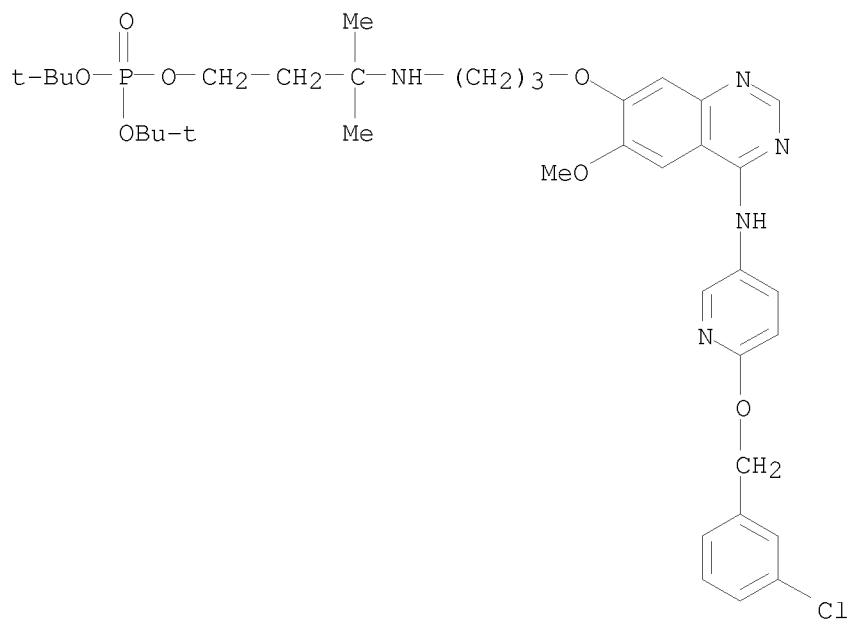
CN Phosphorous acid, 3-[[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]amino]-3-methylbutyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

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RN 722485-69-0 CAPLUS

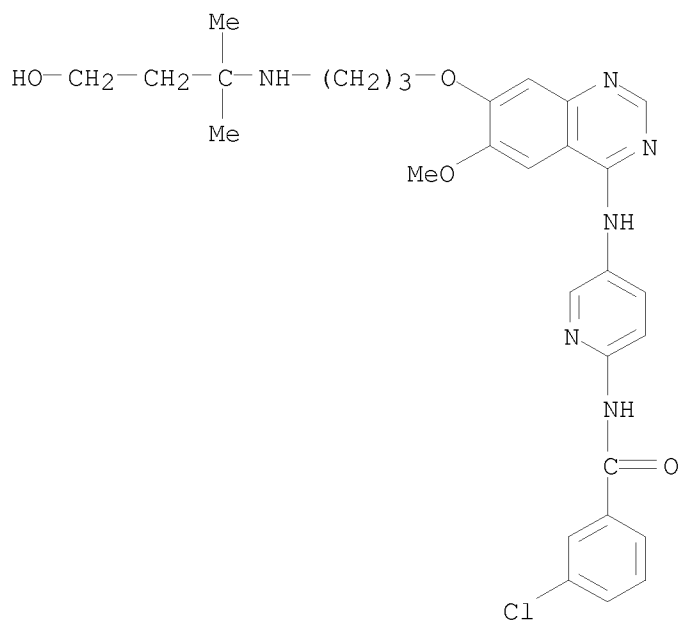
CN Phosphoric acid, 3-[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]amino]-3-methylbutyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 722485-73-6 CAPLUS

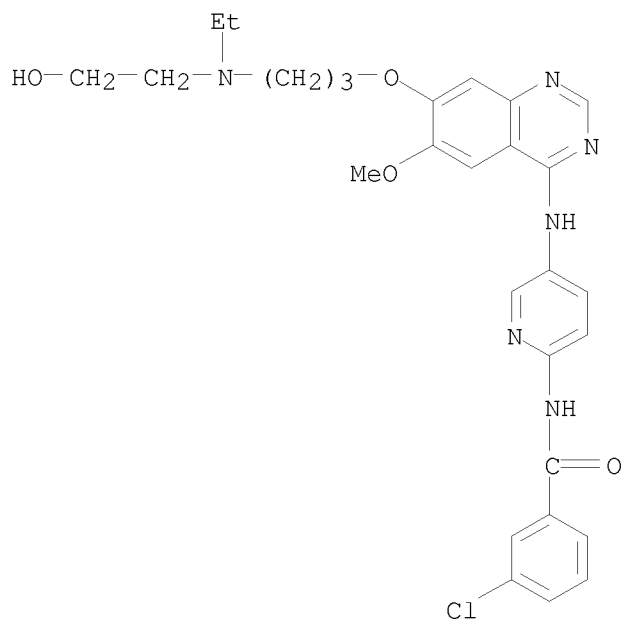
CN Benzamide, 3-chloro-N-[5-[[7-[3-[(3-hydroxy-1,1-dimethylpropyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-(CA INDEX NAME)

10/ 539,483



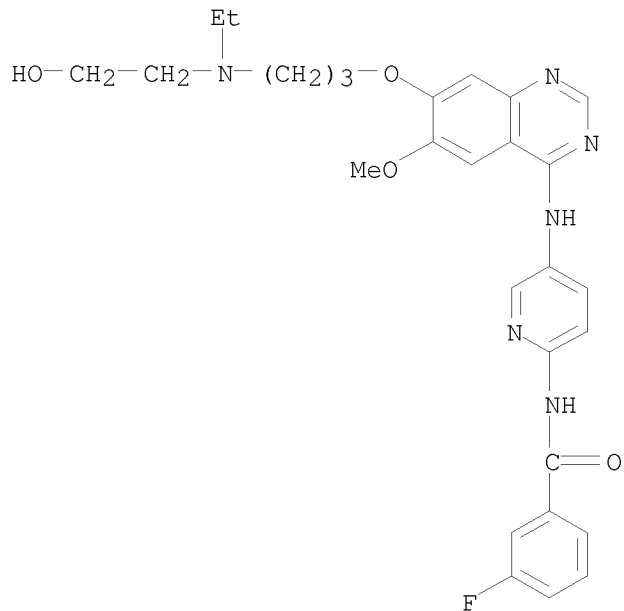
RN 722485-81-6 CAPLUS

CN Benzamide, 3-chloro-N-[[5-[[[7-[3-[ethyl(2-hydroxyethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-3-fluoro- (CA INDEX NAME)



RN 722485-95-2 CAPLUS

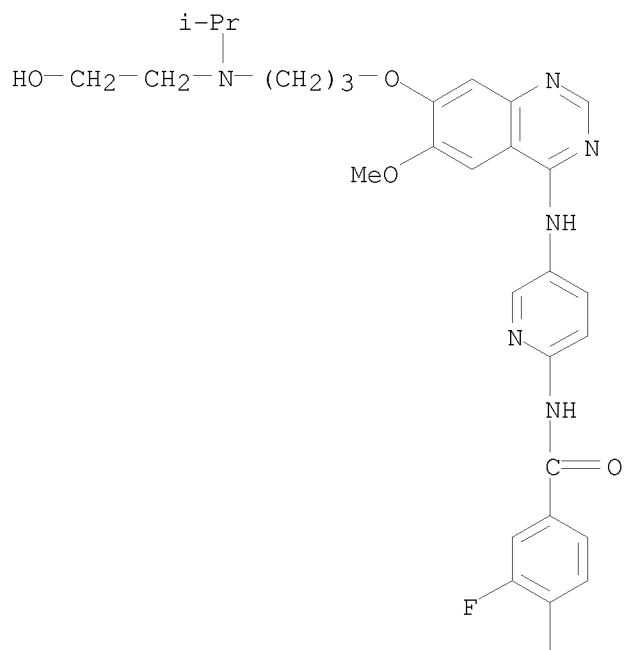
CN Benzamide, N-[[5-[[[7-[3-[ethyl(2-hydroxyethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-3-fluoro- (CA INDEX NAME)



RN 722486-03-5 CAPLUS

CN Benzamide, 3,4-difluoro-N-[5-[[7-[3-[(2-hydroxyethyl)(1-methylethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-(CA INDEX NAME)

PAGE 1-A

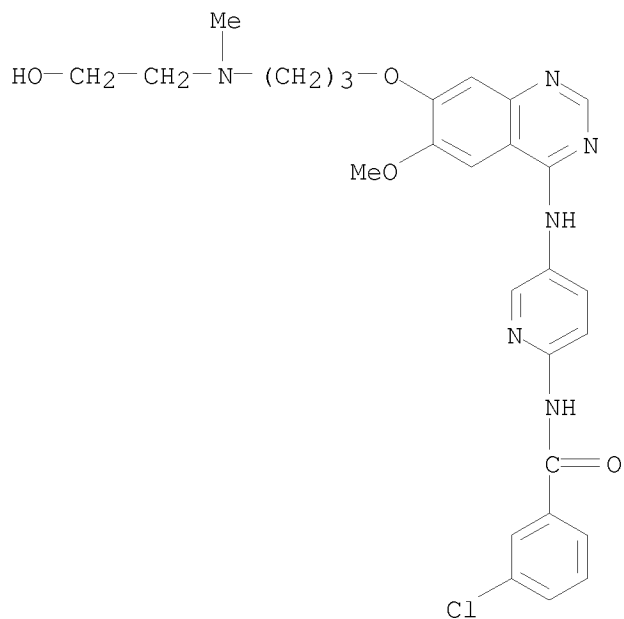




|  
F

RN 722486-24-0 CAPLUS

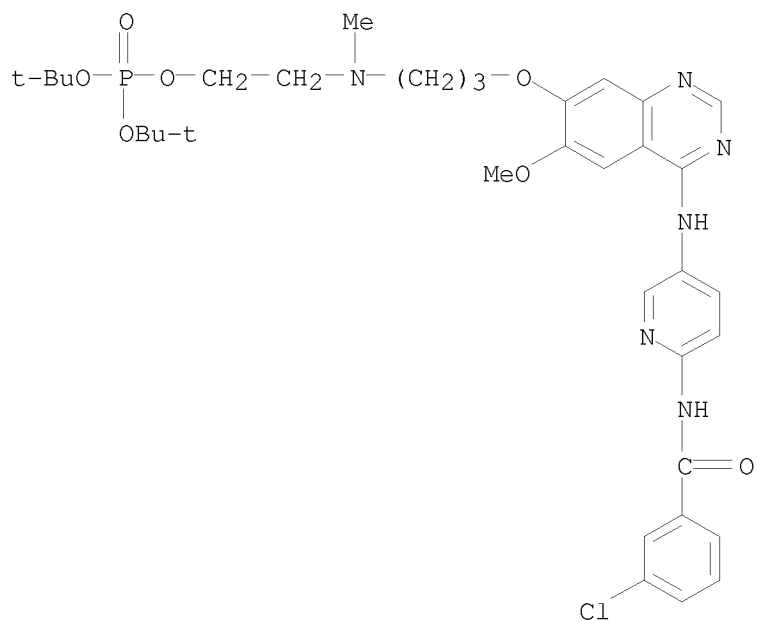
CN Benzamide, 3-chloro-N-[5-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722486-26-2 CAPLUS

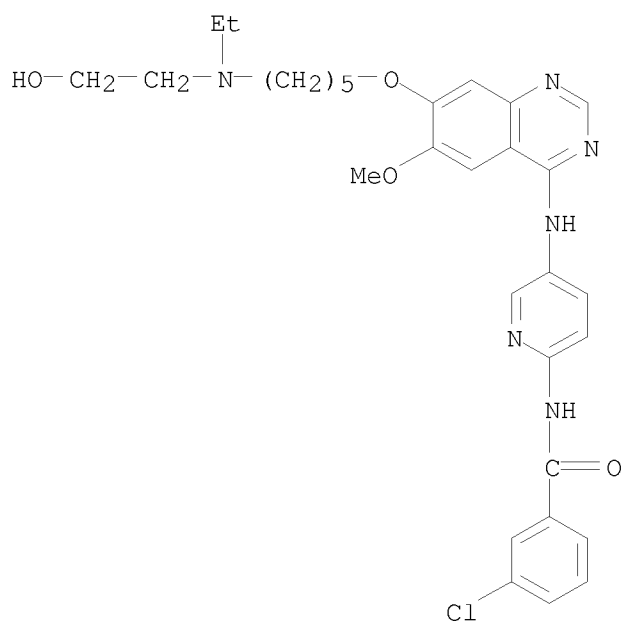
CN Phosphoric acid, 2-[[3-[[4-[[6-[(3-chlorobenzoyl)amino]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]methylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

10/ 539,483



RN 722486-35-3 CAPLUS

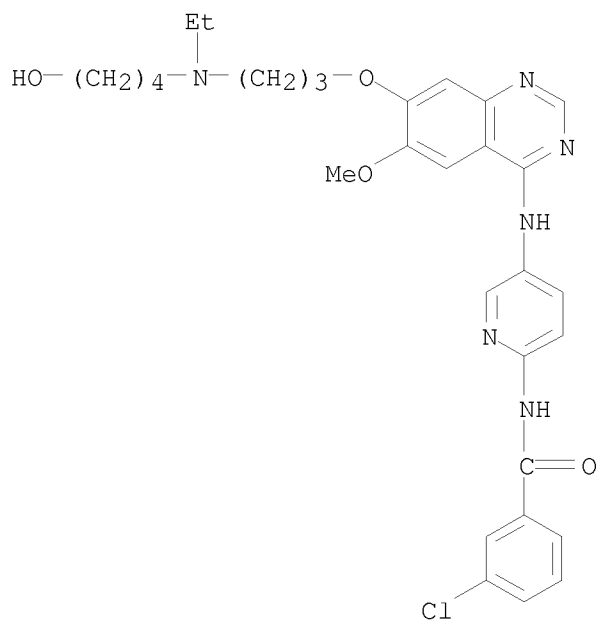
CN Benzamide, 3-chloro-N-[5-[[7-[[5-[ethyl(2-hydroxyethyl)amino]pentyl]oxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722486-41-1 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[ethyl(4-hydroxybutyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

10/ 539,483



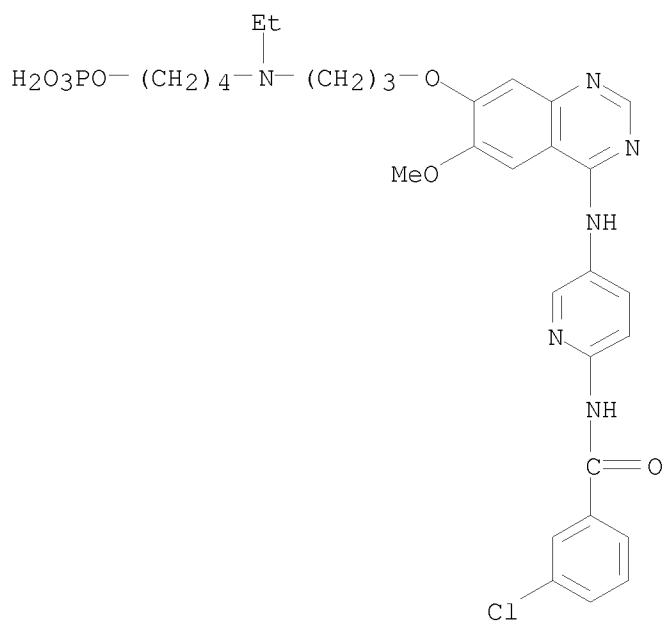
RN 722486-46-6 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[ethyl[4-(phosphonooxy)butyl]amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 722485-34-9

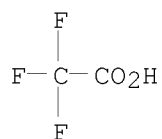
CMF C30 H36 Cl N6 O7 P



CM 2

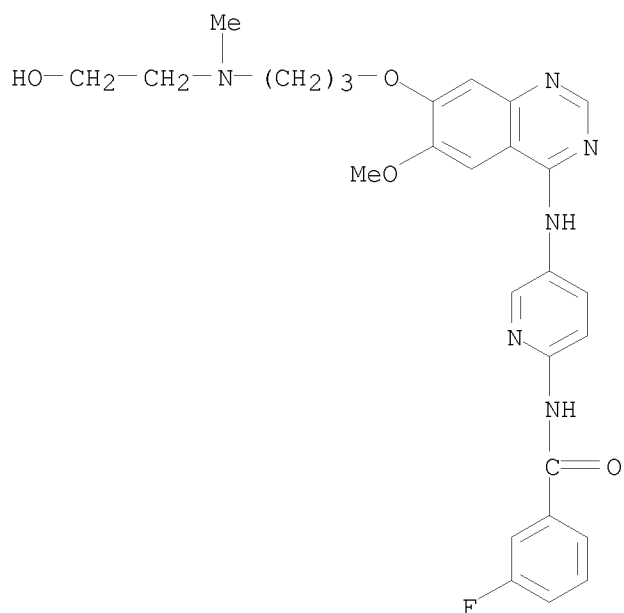
CRN 76-05-1

CMF C2 H F3 O2



RN 722486-49-9 CAPLUS

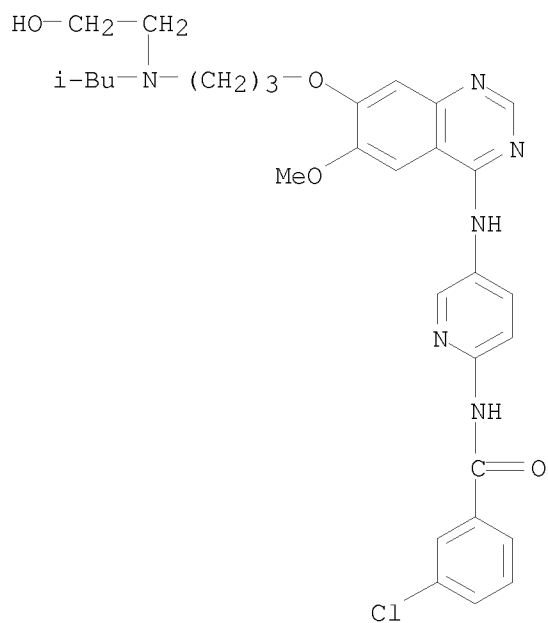
CN Benzamide, 3-fluoro-N-[5-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722486-55-7 CAPLUS

CN	Benzamide, 3-chloro-N-[5-[[7-[3-[(2-hydroxyethyl)(2-methylpropyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]-(CA INDEX NAME)
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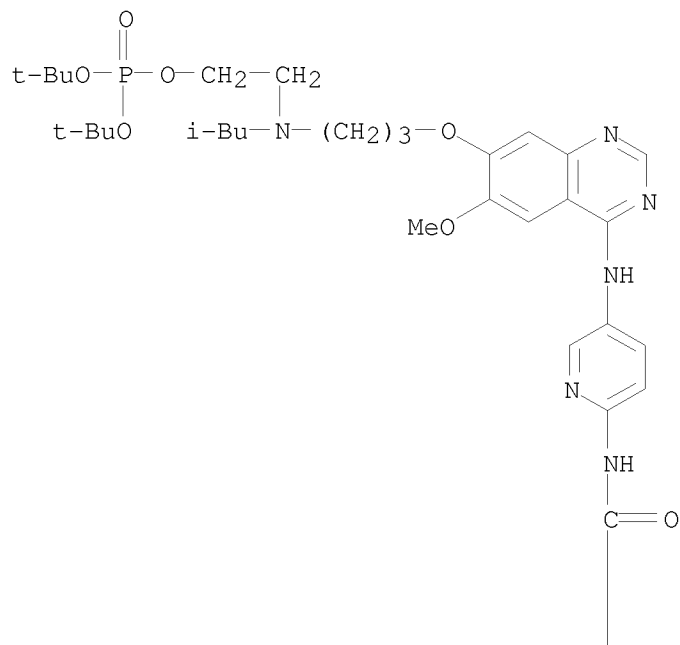
10/ 539,483

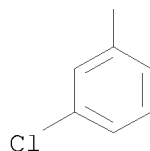


RN 722486-57-9 CAPLUS

CN Phosphoric acid, 2-[[[3-[[[4-[[6-[(3-chlorobenzoyl)amino]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl](2-methylpropyl)amino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

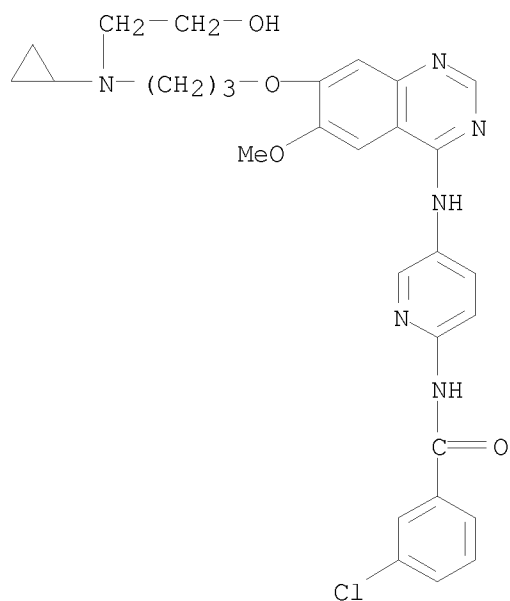
PAGE 1-A





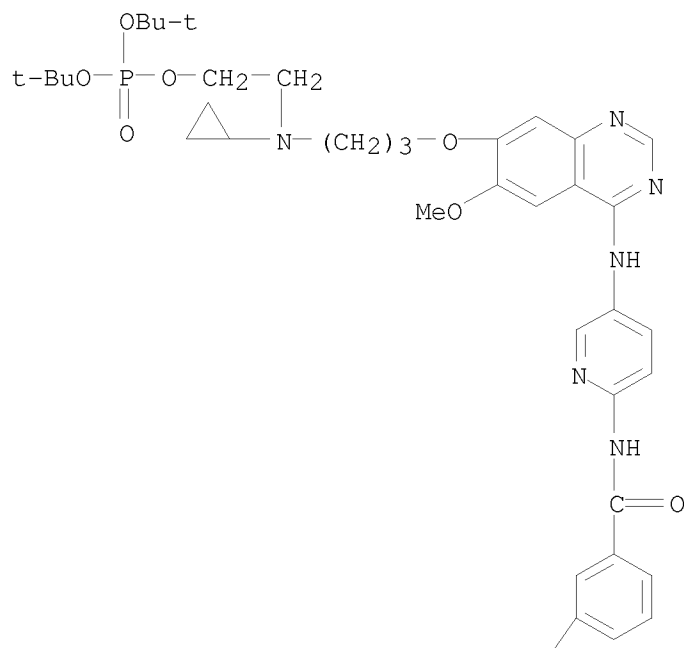
RN 722486-61-5 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[cyclopropyl(2-hydroxyethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)



RN 722486-63-7 CAPLUS

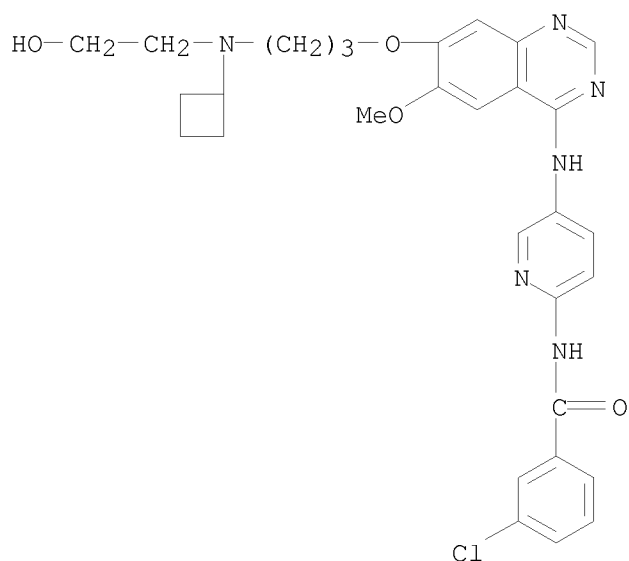
CN Phosphoric acid, 2-[[3-[[4-[[6-[(3-chlorobenzoyl)amino]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]cyclopropylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 722486-81-9 CAPLUS

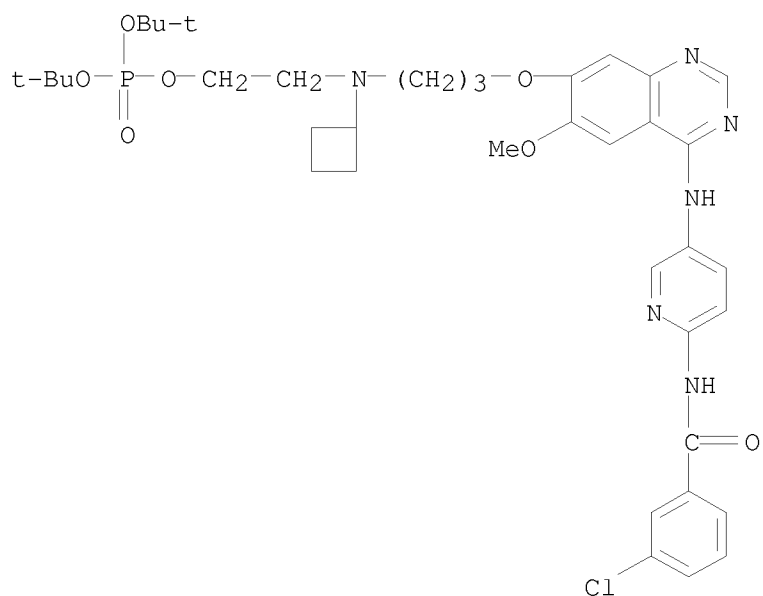
CN Benzamide, 3-chloro-N-[5-[[7-[3-[cyclobutyl(2-hydroxyethyl)amino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

10/ 539,483



RN 722486-83-1 CAPLUS

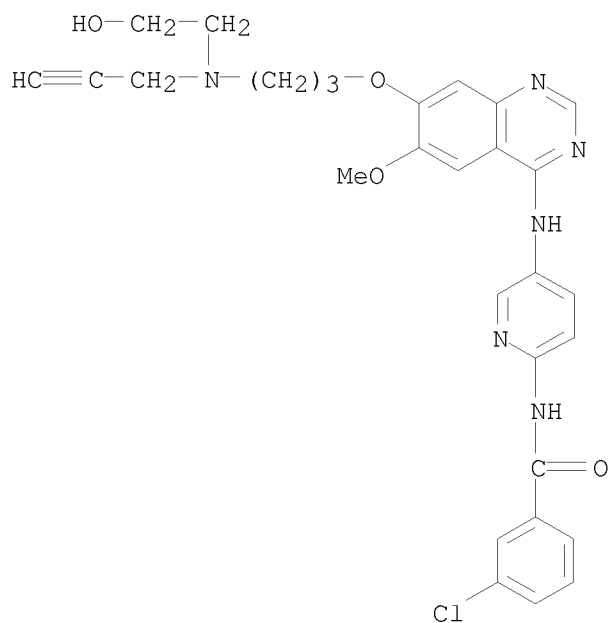
CN Phosphoric acid, 2-[[3-[[4-[[6-[(3-chlorobenzoyl)amino]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]cyclobutylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 722486-89-7 CAPLUS

CN Benzamide, 3-chloro-N-[5-[[7-[3-[(2-hydroxyethyl)-2-propyn-1-ylamino]propoxy]-6-methoxy-4-quinazolinyl]amino]-2-pyridinyl]- (CA INDEX NAME)

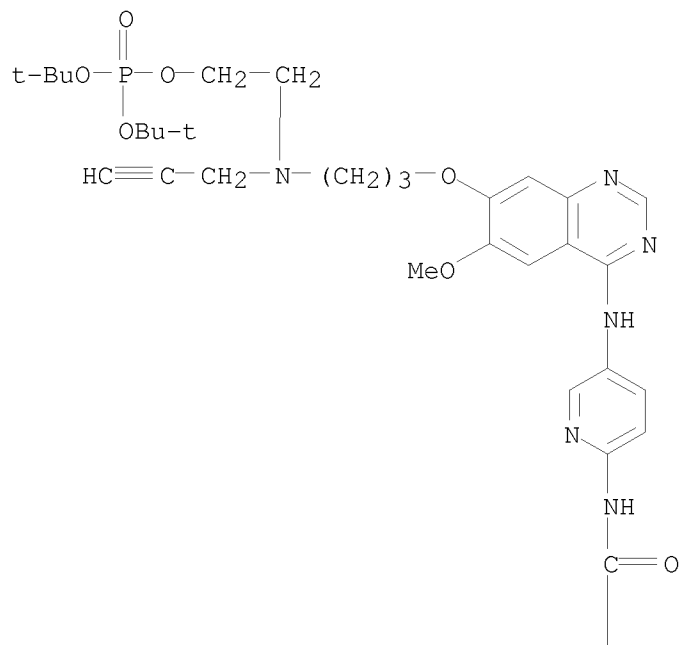


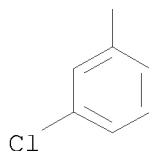


RN 722486-91-1 CAPLUS

CN Phosphoric acid, 2-[[3-[[4-[[6-[(3-chlorobenzoyl)amino]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-2-propyn-1-ylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

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L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:228867 CAPLUS

DOCUMENT NUMBER: 134:266318

TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

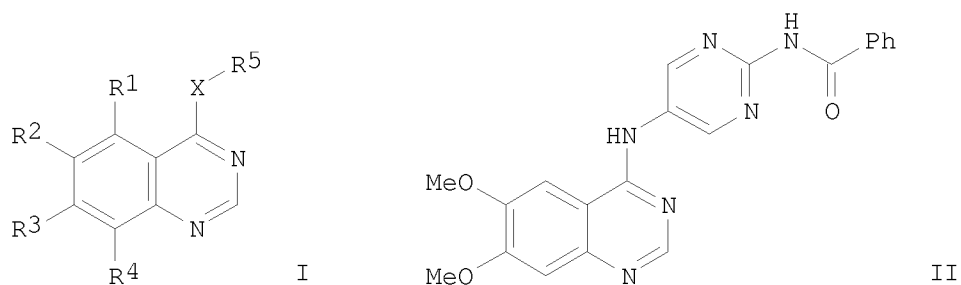
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384296	A1	20010329	CA 2000-2384296	20000919
BR 2000014137	A	20020521	BR 2000-14137	20000919
TR 200200717	T2	20020621	TR 2002-717	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
HU 2003000205	A2	20030528	HU 2003-205	20000919
HU 2003000205	A3	20030828		
AU 762697	B2	20030703	AU 2000-73019	20000919
IN 2002MN00295	A	20050318	IN 2002-MN295	20020308
BG 106526	A	20021031	BG 2002-106526	20020318
ZA 2002002232	A	20030619	ZA 2002-2232	20020319
NO 2002001400	A	20020506	NO 2002-1400	20020320
US 7235559	B1	20070626	US 2002-88856	20020321
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921
			WO 2000-GB3593	W 20000919

OTHER SOURCE(S): MARPAT 134:266318

GI



AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>6</sub>; R<sub>6</sub> = H or alkyl; R<sub>5</sub> = (un)substituted 6-membered aromatic ring containing at least one N; R<sub>1</sub>-R<sub>4</sub>

=

independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>7</sub>, or R<sub>9</sub>X<sub>1</sub>; R<sub>7</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, S, SO, SO<sub>2</sub>, or (un)substituted NHCO, CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>9</sub> = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R<sub>2</sub> or R<sub>3</sub> is other than H; or a salt, ester, amide, or prodrug thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.00785  $\mu$ M. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.7  $\mu$ M and reduced BrdU incorporation into cellular DNA by 50% at 1.92-2.848  $\mu$ M.

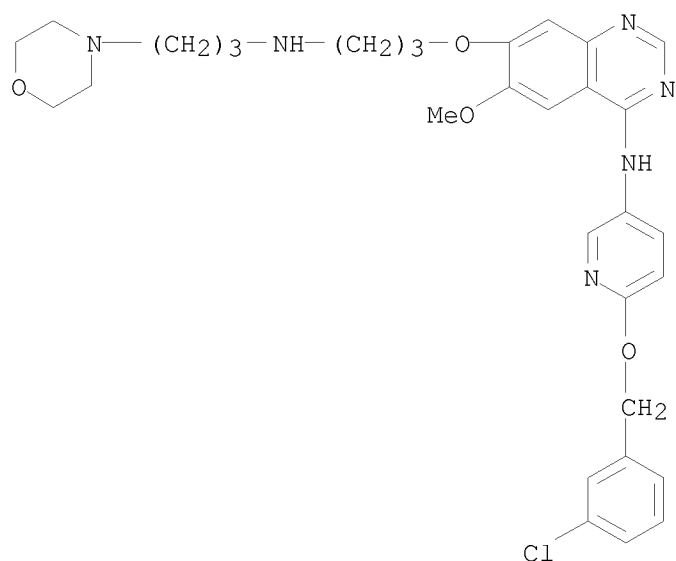
IT 331805-82-4P 331805-87-9P 331805-92-6P  
331806-40-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compds.; preparation of substituted quinazoline derivs. as inhibitors of aurora 2 kinase for the treatment of breast and colorectal cancers)

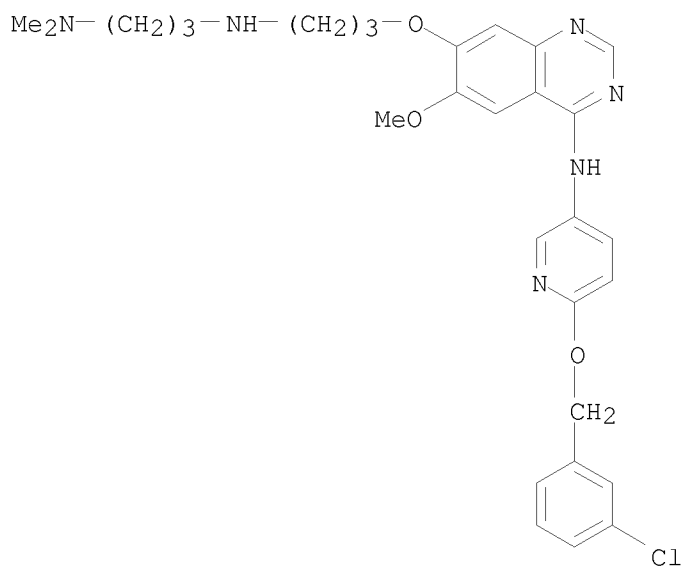
RN 331805-82-4 CAPLUS

CN 4-Quinazolinamine, N-[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]-6-methoxy-7-[3-[[3-(4-morpholinyl)propyl]amino]propoxy]- (CA INDEX NAME)

10/ 539,483

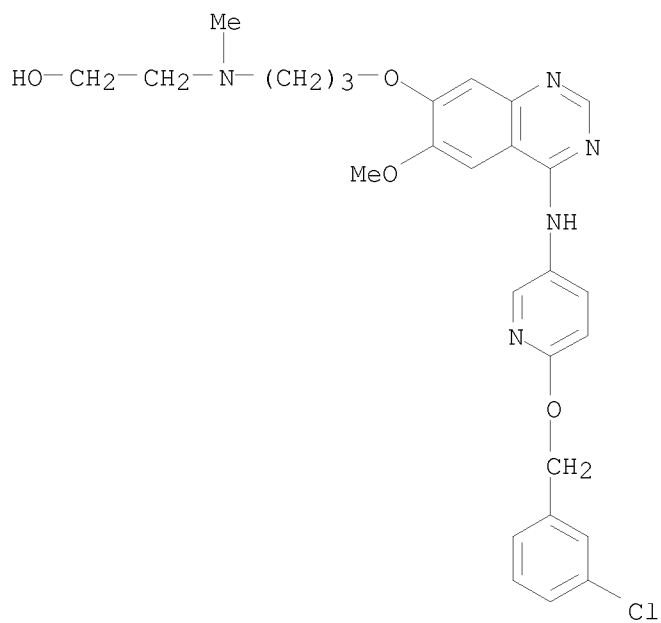


RN 331805-87-9 CAPLUS  
 CN 1,3-Propanediamine, N3-[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N1,N1-dimethyl- (CA INDEX NAME)



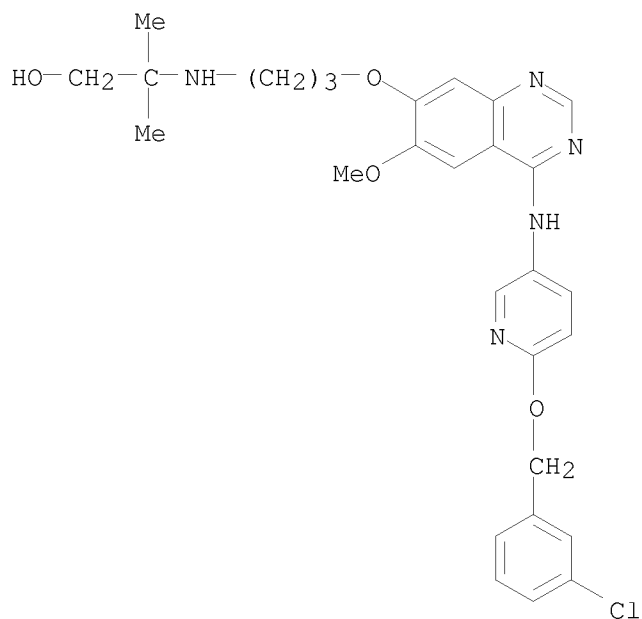
RN 331805-92-6 CAPLUS  
 CN Ethanol, 2-[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]methylamino]- (CA INDEX NAME)

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RN 331806-40-7 CAPLUS

CN 1-Propanol, 2-[[3-[[4-[[6-[(3-chlorophenyl)methoxy]-3-pyridinyl]amino]-6-methoxy]-7-quinazolinyl]oxy]propyl]amino]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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10/ 539,483

(FILE 'HOME' ENTERED AT 17:16:46 ON 05 AUG 2008)

FILE 'REGISTRY' ENTERED AT 17:17:11 ON 05 AUG 2008

L1               STRUCTURE UPLOADED  
L2               3 S L1  
L3               56 S L1 FULL

FILE 'CAPLUS' ENTERED AT 17:17:48 ON 05 AUG 2008

L4               3 S L3

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

16.83

195.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.40

-2.40

STN INTERNATIONAL LOGOFF AT 17:18:16 ON 05 AUG 2008